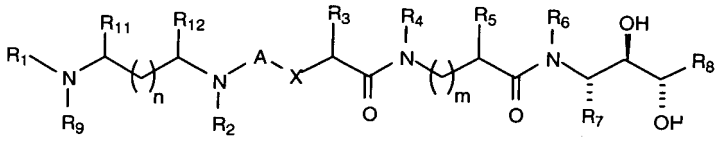
USE OF PROPARGYL GLYCINE AMINO PROPARGYL DIOL COMPOUNDS FOR TREATMENT OF RENAL FAILURE

ABSTRACT

Compounds characterized generally as propargyl glycine amino propargyl diol derivatives are useful for treatment of renal failure. Compounds of particular interest are those of Formula I



(I)

wherein A is selected from CO and SO_2 wherein X is selected from oxygen atom and methylene; wherein each of R_1 and R_9 is a group independently selected from hydrido, methyl, ethyl, n-propyl, isopropyl, benzyl, b, b, b-trifluoroethyl, t-butyloxycarbonyl and methoxymethylcarbonyl, and wherein the nitrogen atom to which R_1 and R_9 are attached may be combined with oxygen to form an N-oxide; wherein R_2 is selected from hydrido, methyl, ethyl and isopropyl; wherein R_3 is selected from benzyl, cyclohexylmethyl, phenethyl, imidazolemethyl, pyridylmethyl and 2-pyridylethyl; wherein each of R_5 and R_8 is independently propargyl or a propargyl-containing moiety; wherein R_7 is cyclohexylmethyl; wherein each of R_4 and R_6 is independently selected from hydrido and methyl; wherein each of R_{11} and R_{12} is independently selected from hydrido, alkyl and phenyl; wherein m is zero; and wherein n is a number selected from zero through three; or a pharmaceutically-acceptable salt thereof.

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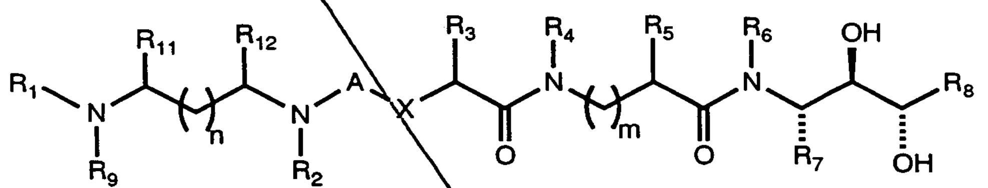
PROPARGYL GLYCINE AMINO PROPARGYL DIOL COMPOUNDS FOR TREATMENT OF HYPERTENSION

ABSTRACT

Compounds characterized generally as propargyl glycine amino propargyl diol derivatives are useful as renin inhibitors for the treatment of hypertension. Compounds of particular interest are those of Formula I



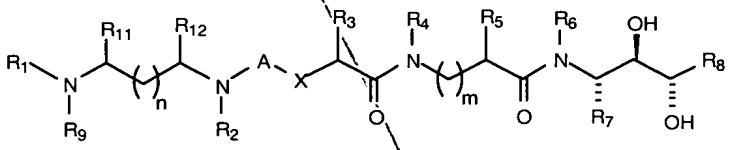
(I)

wherein A is selected from CO and SO_2 wherein X is selected from oxygen atom and methylene; wherein each of R_1 and R_9 is a group independently selected from hydrido, methyl, ethyl, n-propyl, isopropyl, benzyl, b, b, b-trifluoroethyl, t-butyloxycarbonyl and methoxymethylcarbonyl, and wherein the nitrogen atom to which R_1 and R_9 are attached may be combined with oxygen to form an N-oxide; wherein R_2 is selected from hydrido, methyl, ethyl and isopropyl; wherein R_3 is selected from benzyl, cyclohexylmethyl, phenethyl, imidazolemethyl, pyridylmethyl and 2-pyridylethyl; wherein each of R_5 and R_8 is independently propargyl or a propargyl-containing moiety; wherein R_7 is cyclohexylmethyl; wherein each of R_4 and R_6 is independently selected from hydrido and methyl; wherein each of R_{11} and R_{12} is independently selected from hydrido, alkyl and phenyl; wherein m is zero; and wherein n is a number selected from zero through three; or a pharmaceutically-acceptable salt thereof.

USE OF PROPARGYL GLYCINE AMINO PROPARGYL DIOL COMPOUNDS FOR TREATMENT OF RENAL FAILURE

ABSTRACT

Compounds characterized generally as propargyl glycine amino propargyl diol derivatives are useful for treatment of renal failure. Compounds of particular interest are those of Formula I



(I)

wherein A is selected from CO and SO_2 wherein X is selected from oxygen atom and methylene; wherein each of R_1 and R_9 is a group independently selected from hydrido, methyl, ethyl, n-propyl, isopropyl, benzyl, b, b, b-trifluoroethyl, t-butyloxycarbonyl and methoxymethylcarbonyl, and wherein the nitrogen atom to which R_1 and R_9 are attached may be combined with oxygen to form an N-oxide; wherein R_2 is selected from hydrido, methyl, ethyl and isopropyl; wherein R_3 is selected from benzyl, cyclohexylmethyl, phenethyl, imidazolemethyl, pyridylmethyl and 2-pyridylethyl; wherein each of R_5 and R_8 is independently propargyl or a propargyl-containing moiety; wherein R_7 is cyclohexylmethyl; wherein each of R_4 and R_6 is independently selected from hydrido and methyl; wherein each of R_{11} and R_{12} is independently selected from hydrido, alkyl and phenyl; wherein m is zero; and wherein n is a number selected from zero through three; or a pharmaceutically-acceptable salt thereof.